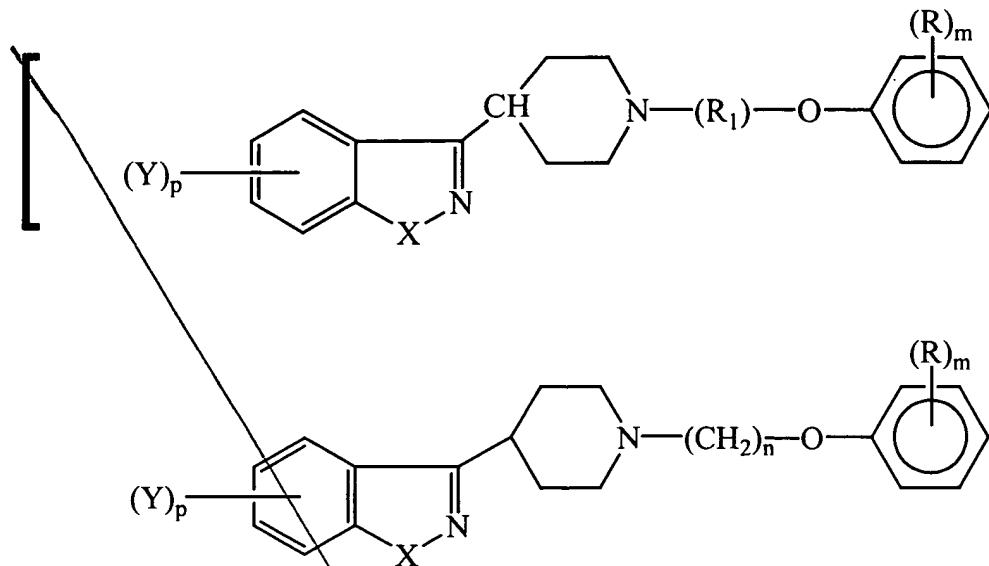


B  
C  
Cont



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy[, hydroxy and halogen] when p is 2 and X is -O-;

[(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>C≡C-CH<sub>2</sub>-CH<sub>2</sub>- or

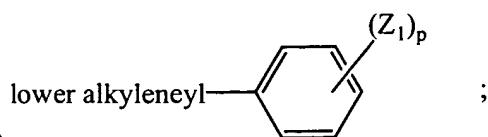
$-\text{CH}_2\text{-CH}_2\text{-C}\equiv\text{C-CH}_2-$ ,

the  $-\text{CH}=\text{CH}-$  bond being cis or trans;

$\text{R}_{22}$  is  $\text{R}_{20}$  or  $\text{R}_{21}$  in which one or more carbon atoms of  $\text{R}_{20}$  or  $\text{R}_{21}$  are substituted

by at least one  $\text{C}_1\text{-C}_6$  linear alkyl group, phenyl group or

B<sup>1</sup>  
C<sup>1</sup>  
cont



where  $\text{Z}_1$  is lower alkyl, -OH, lower alkoxy,  $-\text{CF}_3$ ,  $-\text{NO}_2$ ,  $-\text{NH}_2$  or halogen;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]  $-\text{C}(=\text{O})\text{-alkyl}$ ,  $-\text{C}(=\text{O})\text{-O-alkyl}$ ,  $-\text{C}(=\text{O})\text{-aryl}$ ,  $-\text{C}(=\text{O})\text{-heteroaryl}$ , or  $-\text{CH}(\text{OR}_7)\text{-alkyl}$ ; [  $-\text{CH}(\text{OR}^7)\text{-alkyl}$ ,  $-\text{C}(=\text{W})\text{-alkyl}$ ,  $-\text{C}(=\text{W})\text{-aryl}$ , and  $-\text{C}(=\text{W})\text{-heteroaryl}$ ;]

alkyl is lower alkyl;

aryl is phenyl or

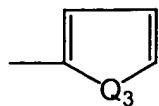


where  $\text{R}_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

B1  
C1  
Cont

iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,  
trifluoromethyl, trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;]

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof.

26. (Amended) A compound as claimed in claim 1, [which is 1-[4-[3-[4-(6-fluoro-

*B2*  
1,2-benzisoxazol-3-yl]-1-piperidinyl]-propoxy]-3-methylmercaptophenyl]ethanone or] which is

1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]-3-

methylmercaptophenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

*B2*

52. (Amended) A compound as claimed in claim [1] 132, which is N,N-dimethyl-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxybenzamide, or a pharmaceutically acceptable acid addition salt thereof.

53. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]-3-methoxyphenyl]ethanone oxime, or a pharmaceutically acceptable acid addition salt thereof.

54. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]methoxyphenyl]ethanone oxime O-methyl ether, or a pharmaceutically acceptable acid addition salt thereof.

55. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]-3-methoxyphenyl]ethanone hydrazone, or a pharmaceutically acceptable acid addition salt thereof.

56. (Amended) A compound as claimed in claim [1] 132, which is 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]-propyl]-4-piperidinyl]-1,2-benzisoxazole, or a pharmaceutically acceptable acid addition salt thereof.

57. (Amended) A compound as claimed in claim [1] 87, which is (Z)-1-[4-[[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

*B3*  
58. (Amended) A compound as claimed in claim [1] 87, which is (E)-1-[3-[4-[(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

59. (Twice Amended) A compound [as claimed in claim 1], which is (E)-1-[3-[4-[(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-benzyloxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

*B4*  
65. (Twice Amended) A compound as claimed in claim [1], which is 1-(R)-(-)-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methyl-1-propoxy]-3-methoxyphenyl]ethanone, or] 104, which is 1-(R)-(-)-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methyl-1-propoxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

66. (Amended) A compound as claimed in claim [1] 104, which is 1-(S)(+)-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methyl-1-propoxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

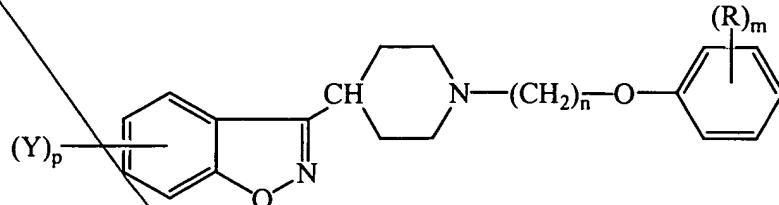
*B5*  
74. (Amended) The compound of claim 1, wherein p is 2, X is -O-, and Y is [selected from the group consisting of] lower alkoxy[, hydroxy and halogen groups].

*B6*  
77. (Amended) The compound of claim 1, wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, -COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br,

I, C<sub>1</sub>-C<sub>3</sub> alkylamino, [-NO<sub>2</sub>] -NO<sub>2</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, and -C(=O)-lower alkyl.

*B6*  
78.

(Amended) A compound of the formula:



wherein p is 1 or 2;

Y is hydrogen, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, alkanoyl, Cl, F, Br, I, amino,

C<sub>1</sub>-C<sub>3</sub> mono or dialkyl amino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>, -CF<sub>3</sub>, alkyl-C(=O)-,

CF<sub>3</sub>-C(=O)-, or -CH(OR<sub>7</sub>)-alkyl;

alkyl is lower alkyl;

R<sub>7</sub> is hydrogen, lower alkyl, lower alkyl-C(=O)-, or CF<sub>3</sub>-C(=O)-;

and m is 1, 2, or 3;

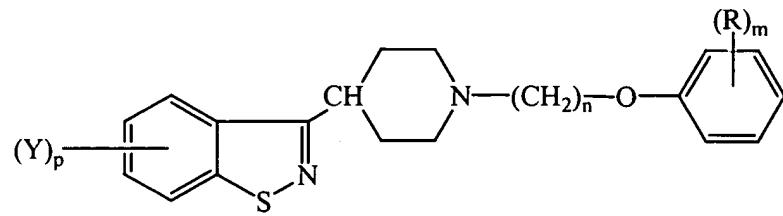
all geometric, optical and stereoisomers thereof or a pharmaceutically acceptable acid

addition salt thereof.

79.

(Twice Amended) A compound of the formula:

B<sup>6</sup>



wherein p is 1 or 2;

Y is hydrogen, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, acyl, alkanoyl, Cl, F, Br, I, amino, C<sub>1</sub>-C<sub>3</sub> mono or dialkyl amino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>, -CF<sub>3</sub>, alkyl-C(=O)-,

CF<sub>3</sub>-C(=O)-, or -CH(OR<sub>7</sub>)-alkyl;

alkyl is lower alkyl;

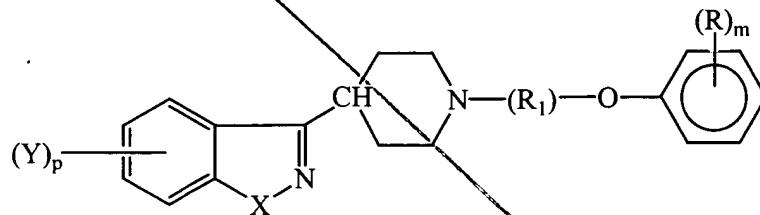
R<sub>7</sub> is hydrogen, lower alkyl, [or] lower alkyl-C(=O)-, or CF<sub>3</sub>-C(=O)-;

and m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof or a pharmaceutically acceptable acid

addition salt thereof.

80. (Twice Amended) A compound as claimed in claim 1 [of the formula:



wherein

B<sub>6</sub>

X is -O- or -S-;

p is 1 or 2;

C<sub>2</sub>

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

cont

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n-1</sub>, where n is 2, 3, 4 or 5;

R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

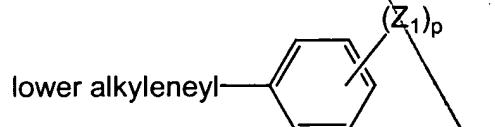
-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>- or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted

by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen; and R

and m are as defined hereinafter;

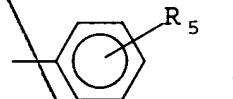
m is 1, 2, or 3; and

*B<sup>6</sup>*  
*C<sup>2</sup>*  
*Cont*

when m is 1, 2, or 3, R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sup>7</sup>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, and -C(=W)-heteroaryl;

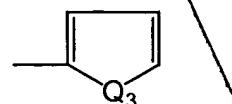
alkyl is lower alkyl;

aryl is phenyl or



where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxyl, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxyl, lower alkoxy, or -NHR<sub>10</sub>; and

B6  
C2  
cont

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,  
-C(=O)-aryl or -C(=O)-heteroaryl,  
where aryl and heteroaryl are as defined above; and]  
with the proviso that when m is 3, R is not -C(=O)-heteroaryl[; or -C(=W)-heteroaryl;],  
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid  
addition salt thereof.

81. (Amended) A compound as claimed in claim [1] 87, which is (E)-1-[4-[[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

82. (Amended) A pharmaceutical composition, which comprises a compound as claimed in any one of claims [1-81] 1-75 and 77-81, and a pharmaceutically acceptable carrier therefor.

83. (Amended) An antipsychotic composition which comprises a compound as claimed in any one of claims [1-81] 1-75 and 77-81, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

84. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in any one of claims [1-81] 1-75 and 77-81.

*B6*

85. (Amended) An analgesic composition which comprises a compound as claimed in any one of claims [1-81] 1-75 and 77-81, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

86. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in any one of claims [1-81] 1-75 and 77-81.

Please amend claims 98, 114, 132, and 142, all added in the Preliminary Amendment dated November 15, 2000, as follows:

*B7*

98. The compound of claim 87, wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, -COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub> alkylamino, -NO<sub>2</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, and -C-lower alkyl.



*B8*

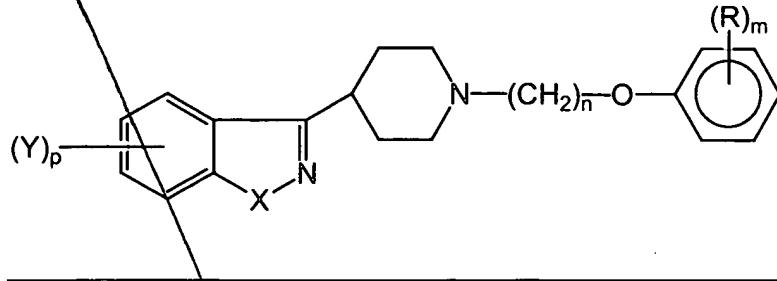
114. The compound of claim 104, wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, -COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub> alkylamino, -NO<sub>2</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, and -C-lower alkyl.



132. A compound of the formula

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wherein

$X$  is  $-O-$  or  $-S-$ ;

$p$  is 1 or 2;

$Y$  is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when  $p$  is 1;

$Y$  is lower alkoxy, hydroxy, or halogen when  $p$  is 2 and  $X$  is  $-O-$ ;

$n$  is 2, 3, 4 or 5;

$R$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

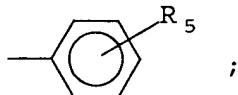
aminocarbonyl, dialkylaminocarbonyl, formyl,

$-C(=O)$ -alkyl,  $-C(=O)-O$ -alkyl,  $-C(=O)$ -aryl,  $-C(=O)$ -heteroaryl,

$-CH(OR_7)$ -alkyl,  $-C(=W)$ -alkyl,  $-C(=W)$ -aryl, or  $-C(=W)$ -heteroaryl;

alkyl is lower alkyl;

aryl is phenyl or



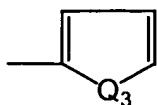
;

B9

where  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



$Q_3$  is -O-, -S-, -NH-, or -CH=N-;

W is  $CH_2$  or  $CHR_8$  or  $N-R_9$ ;

$R_7$  is hydrogen, lower alkyl, or acyl;

$R_8$  is lower alkyl;

$R_9$  is hydroxy, lower alkoxy, or  $-NHR_{10}$ ; and

$R_{10}$  is hydrogen, lower alkyl,  $C_1-C_3$  acyl, aryl,

$-C(=O)$ -aryl or  $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above; and

$m$  is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl,  $-C(=W)$ -alkyl,  $-C(=W)$ -aryl, and

$-C(=W)$ -heteroaryl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.